09/902,845

STN-Structure Search 3-4-05

=> d ibib abs hitstr 1-15

L6 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:454295 CAPLUS
DOCUMENT NUMBER: 139:52892
TITLE: Preparation of 2-(2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyls as sodium ion proton antiporter (NHE) inhibitors
INVENTOR(S): Hofmeister, Armin; Heinelt, Uwe; Lang, Hans-Jochen; Bleich, Markus; Wirth, Klaus; Gekle, Michael
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: PCT Int. Appl., 304 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003048129	A1	20030612	WO 2002-EP12990	20021120
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1453810	A1	20040908	EP 2002-804183	20021120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014753	A	20041214	BR 2002-14753	20021120
US 2004044211	A1	20040304	US 2002-309352	20021204
US 2005009864	A1	20050113	US 2004-866843	20040614

PRIORITY APPLN. INFO.:

DE 2001-10159714	A	20011205
US 2002-353513P	P	20020201
WO 2002-EP12990	W	20021120
US 2002-309352	A3	20021204

OTHER SOURCE(S): MARPAT 139:52892
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

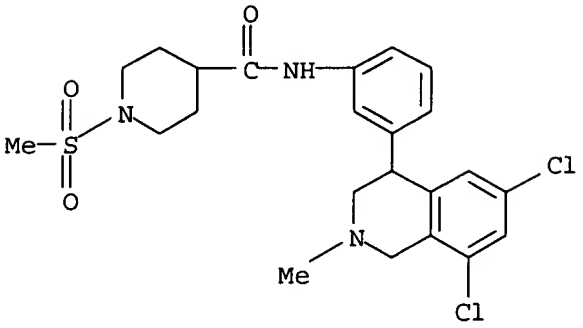
AB Title compds. I [R1, R2, R3, R4 = H, halo, CN, etc.; R5 = H, CpH2p+1, CssH2ss-1, etc.; p = 1-8; ss = 3-8; R6 = H, halo, OH, etc.; R7, R8, R9 = Ov-SOw-R23; v = 0, 1; w = 0-2, R23 = OH, CnnH2nn+1, CmmH2mm-1, etc.; nn = 1-8] and their pharmaceutically acceptable salts were prepared For example, acid catalyzed intramol. Pictet Spengler cyclization of benzyl alc. II, prepared from N-methyl-2,4-dichlorobenzylamine in 3-steps, afforded claimed phenyltetrahydroisoquinoline III. In proton sodium antiporting protein (NHE3) inhibition studies, 27-examples of compds. I exhibited IC50 values ranging from 0.024-1.507 μM, e.g., the IC50 value of phenyltetrahydroisoquinoline III hydrochloride was 0.075 μM. Compds. I can also influence serum lipoproteins and can be used for the regression of atherosclerotic alterations.

IT **543735-85-9P 543735-86-0P 543735-87-1P,**

isoquinolinyl)phenyl]-1-(methylsulfonyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

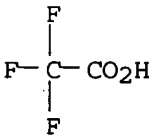
CM 1

CRN 543736-33-0
CMF C23 H27 Cl2 N3 O3 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Inventors

L6 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:51467 CAPLUS
DOCUMENT NUMBER: 136:118393
TITLE: Preparation and use of furan-fused-4-phenyl substituted tetrahydroisoquinolines for treatment of attention deficit hyperactivity disorder (ADHD)
INVENTOR(S): Beck, James P.; Pechulis, Anthony D.; Harms, Arthur E.
PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002004455	A2	20020117	WO 2001-US21818	20010711
WO 2002004455	A3	20020620		

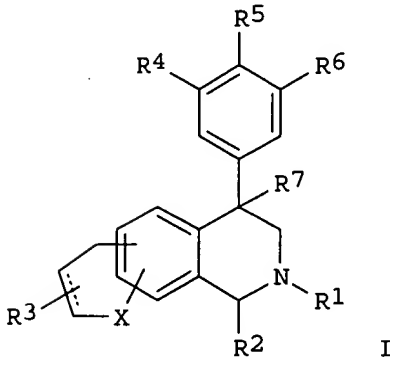
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,

RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,
VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

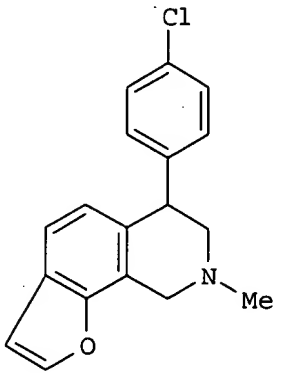
CA 2415532	AA	20020117	CA 2001-2415532		20010711
US 2002091134	A1	20020711	US 2001-902845		20010711
EP 1299393	A2	20030409	EP 2001-952616		20010711
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
BR 2001012350	A	20030624	BR 2001-12350		20010711
JP 2004502774	T2	20040129	JP 2002-509320		20010711
NZ 523456	A	20041126	NZ 2001-523456		20010711
PRIORITY APPLN. INFO.:			US 2000-217412P	P	20000711
			WO 2001-US21818	W	20010711

OTHER SOURCE(S): MARPAT 136:118393

GI



I



II

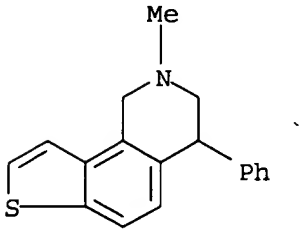
AB Title compds. I [R1 = alk(en/yn)yl, cycloalkyl, 5-cycloalkylalkyl and benzyl, each of which is optionally substituted with 1 to 3 substituents; R2 = H, alk(en/yn)yl, cycloalkyl, cycloalkylalkyl and haloalkyl; R3 = H, halo, alkyl, haloalkyl and cycloalkyl, wherein alkyl, haloalkyl and cycloalkyl are optionally substituted with 1 to 3 substituents selected from alkoxy and amino; R4-6 = H, halo, alkoxy, NO2, amino, amido, ureido, S(O)n, CN, acyl, carboxy, carboxamide, alk(en/yn)yl, cycloalkyl and cycloalkylalkyl; alternatively R5-6 = O-alkyl-O; R7 = H, halo and alkoxy; X = O, NH (and substituted derivs.) and S; n = 0 - 2] with some provisos, were prepared E.g., 7-formylbenzofuran was converted to the corresponding methylamino-Me derivative (MeOH, MeNH2, NaBH4), alkylated with p-chlorophenacyl bromide (CH2Cl2, Et3N) and reduced to the amino alc. (CH2Cl2, NaBH4, 5 h, 0° → room temperature). This intermediate was treated dropwise with MsOH (CH2Cl2, 0°C → reflux, overnight) to give II as a yellow oil (18% overall yield). Over 150 synthetic examples were provided. Compds. I are selective neurotransmitter receptor binding ligands (no data). I are useful in the treatment of attention-deficit hyperactivity disorder.

IT **389844-43-3P 389845-23-2P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

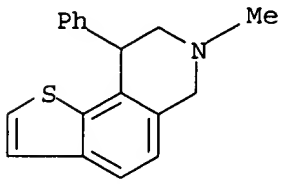
(drug; preparation and use of furan-fused-4-Ph substituted tetrahydroisoquinolines for treatment of attention deficit hyperactivity disorder (ADHD))

RN 389844-43-3 CAPLUS
CN Thieno[2,3-h]isoquinoline, 1,2,3,4-tetrahydro-2-methyl-4-phenyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 389845-23-2 CAPLUS
CN Thieno[2,3-f]isoquinoline, 6,7,8,9-tetrahydro-7-methyl-9-phenyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L6 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:338496 CAPLUS
DOCUMENT NUMBER: 134:353258
TITLE: Aryl- and heteroaryl-substituted tetrahydroisoquinolines and use thereof to block reuptake of norepinephrine, dopamine and serotonin
INVENTOR(S): Beck, James P.; Curry, Matt A.; Smith, Mark A.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

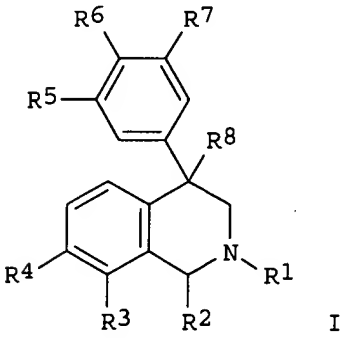
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001032625	A1	20010510	WO 2000-US30329	20001103

W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

CA 2389306	AA	20010510	CA 2000-2389306	20001103
BR 2000015320	A	20020709	BR 2000-15320	20001103
EP 1246806	A1	20021009	EP 2000-976885	20001103
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR				
JP 2003513074	T2	20030408	JP 2001-534777	20001103
US 2002143014	A1	20021003	US 2002-91949	20020306
US 6579885	B2	20030617		
US 2003203920	A1	20031030	US 2003-426097	20030429
US 2005020597	A1	20050127	US 2004-917801	20040813
PRIORITY APPLN. INFO.:			US 1999-163269P	P 19991103
			US 2000-704305	B1 20001102
			WO 2000-US30329	W 20001103
			US 2002-91949	A3 20020306
			US 2003-426097	A1 20030429

OTHER SOURCE(S): MARPAT 134:353258

GI



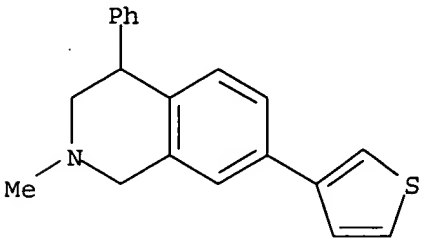
I

AB Diarylmethyltetrahydroisoquinolines (4R)- or (4S)-I [R1 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl; R2 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, haloalkyl; R3 = H, halogen, (un)substituted OH, S(O)nH, CN, CHO, CONH2, alkyl, alkenyl, alkynyl, cycloalkyl; R4 = (un)substituted aryl, heteroaryl; R5-R7 = H, halogen, CN, (un)substituted OH, NH2, S(O)nH, CHO, CONH2, alkyl, alkenyl, alkynyl, cycloalkyl; R8 = H, (un)substituted OH; n = 0-2] were prepared for use as blockers of the reuptake of norepinephrine, dopamine and serotonin (no data). Thus, 3-bromobenzaldehyde is stirred in the presence of methylamine and reduced with sodium borohydride followed by addition of α-chloroacetophenone and reduction of the amino ketone in situ with sodium borohydride to give 3-BrC6H4CH2N(Me)CH2CH(OH)Ph; cyclization of the benzyl alc. with sulfuric acid followed by coupling with phenylboronic acid gave I (R1 = Me; R4 = Ph; R2 = R3 = R5 = R6 = R7 = H) as an oil. Such compds. are particularly useful in the treatment of a neurol. and psychiatric disorders which are created by or are dependent upon decreased availability of serotonin, norepinephrine or dopamine, such as attention deficit-hyperactivity disorder (ADHD), anxiety, depression, and addiction disorders.

IT **338997-66-3P 338997-73-2P 338997-75-4P**
338998-19-9P 338998-25-7P 338998-27-9P
338998-63-3P 338998-66-6P 338998-67-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diarylmethyltetrahydroisoquinolines as selective reuptake inhibitors of dopamine, norepinephrine, and serotonin)

RN 338998-67-7 CAPLUS
CN Isoquinoline, 1,2,3,4-tetrahydro-2-methyl-4-phenyl-7-(3-thienyl)-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:699185 CAPLUS
DOCUMENT NUMBER: 133:267150
TITLE: Preparation of amino acid sulfonamide derivatives as inhibitors of aspartyl protease
INVENTOR(S): Tung, Roger Dennis; Salituro, Francesco Gerald; Deininger, David D.; Murcko, Mark Andrew; Novak, Perry Michael; Bhisetti, Govinda Rao
PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Incorporated, USA
SOURCE: U.S., 74 pp., Cont.-in-part of U.S. Ser. No. 207,580, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

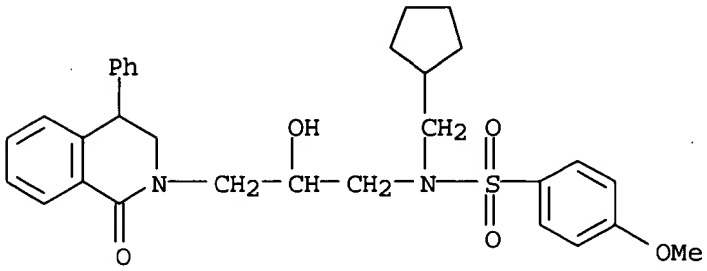
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6127372	A	20001003	US 1996-424372	19960401
WO 9524385	A1	19950914	WO 1995-US2420	19950224

W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1994-207580 B2 19940307
WO 1995-US2420 W 19950224
OTHER SOURCE(S): MARPAT 133:267150

AB Sulfonamides Z-(CH-D)pC(:G)(CXX')mC(:G')N(D')SO2-E' [Z = N(D), SO2E, NH-A, N(D)-A, NH-E, NHC(O)N(D)(E), NH-Ht, N(D)-Ht or phthalimidyl (A = Ht or -R1-Ht, where Ht is a heterocycle which may be substituted, R1 = CO, SO2, COCO, O2C, OSO2, NHSO2, NHCO, NHCOCO, which may be substituted); D, D' = aryl, carbocycle, Ht, alkyl, alkenyl, cycloalkyl, cycloalkenyl, etc.; m = 1-3; p = 0 or 1; G, G' = H2 or O; X, X' = H, OH, NH2, SH, D, halo or XX' = O] were prepared as aspartyl protease inhibitors. Thus, t-BuNHCON(CH2Ph)CH2CH(OH)N(CH2-cyclopentyl)SO2C6H4OMe-p, prepared by sequential reactions of cyclopentylmethylamine, p-methoxybenzenesulfonyl chloride, epibromohydrin, benzylamine, and t-Bu isocyanate, showed Ki = 2,400 for inhibition of HIV-1 protease.

IT **172738-36-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid sulfonamide derivs. as inhibitors of aspartyl protease)
RN 172738-36-2 CAPLUS
CN Benzenesulfonamide, N-(cyclopentylmethyl)-N-[3-(3,4-dihydro-1-oxo-4-phenyl-2(1H)-isoquinolinyl)-2-hydroxypropyl]-4-methoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:662935 CAPLUS
DOCUMENT NUMBER: 133:266707
TITLE: Synthesis of 4-aryl-2-methyl-1,2,3,4-tetrahydroisoquinolines via Pummerer-type cyclization of N-(arylmethyl)-N-methyl-2-aryl-2-(phenylsulfinyl)acetamides
AUTHOR(S): Toda, Jun; Sonobe, Akihiro; Ichikawa, Tsuyoshi; Saitoh, Toshiaki; Horiguchi, Yoshie; Sano, Takehiro
CORPORATE SOURCE: Showa Pharm. Univ., Tokyo, 194-8543, Japan
SOURCE: ARKIVOC [online computer file] (2000), 1(2), 176-190
CODEN: AKVCFI
URL: http://www.arkat.org/arkat/journal/Issue2/ms23/ms23.pdf
PUBLISHER: ARKAT Foundation
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:266707
GI

L6 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:490065 CAPLUS
DOCUMENT NUMBER: 133:266709
TITLE: 3-Aza-Cope Rearrangement of Quaternary N-Allyl Enammonium Salts. Stereospecific 1,3 Allyl Migration from Nitrogen to Carbon on a Tricyclic Template
AUTHOR(S): McComsey, David F.; Maryanoff, Bruce E.
CORPORATE SOURCE: Drug Discovery, R. W. Johnson Pharmaceutical Research Institute, Spring House, PA, 19477, USA
SOURCE: Journal of Organic Chemistry (2000), 65(16), 4938-4943
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:266709
GI

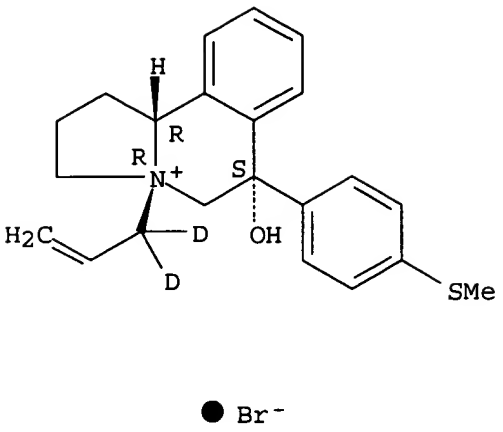
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB N-Allyl enamines can undergo a [3,3] sigmatropic rearrangement known as a 3-aza-Cope (or amino-Claisen) reaction. We explored a 3-aza-Cope reaction involving 1,3 allylic migration from nitrogen to carbon in N-allyl enammonium quaternary salts, exemplified by benzo[a]quinolizine I and pyrrolo[2,1-a]isoquinoline II, with an interest in stereochem. and mechanism. Salts I and II were accessed, resp., through stereospecific allylation of hydroxy amines derivs. to give hydroxyammonium salts, which were dehydrated with trifluoroacetic acid. Allylic migration in these tricyclic tetrahydroisoquinolines occurred with high stereospecificity, with the major fused tetrahydroisoquinolines III and IV apparently deriving from a concerted suprafacial [3,3] rearrangement. The rearrangement of I to III was facile at 23 °C (t1/2 = ca. 5 h) and was >98% stereospecific, whereas the rearrangement of II to IV required heating between 50 and 100 °C, with ca. 90-95% stereospecificity (t1/2 = ca. 0.3 h at 100 °C). A deuterium-labeling experiment with a deuterium-labeled analog of II confirmed that allylic inversion accompanies the 1,3 migration en route to a deuterium-labeled analog of IV, supporting the predominance of a concerted [3,3] sigmatropic mechanism. However, the 5-10% loss of stereospecificity in the rearrangements of the pyrroloisoquinolines such as II, reflected by formation of minor stereoisomers of IV, resp., indicates a minor nonconcerted reaction pathway.

IT **297753-48-1P 297753-52-7P 297753-57-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of allyl fused isoquinoline derivs. by stereoselective aza-Cope rearrangement of quaternary N-allyl fused tetrahydroisoquinoline enammonium salts)

RN 297753-48-1 CAPLUS
CN Pyrrolo[2,1-a]isoquinolinium, 1,2,3,5,6,10b-hexahydro-6-hydroxy-6-[4-(methylthio)phenyl]-4-(2-propenyl)-, bromide, (4R,6S,10bR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



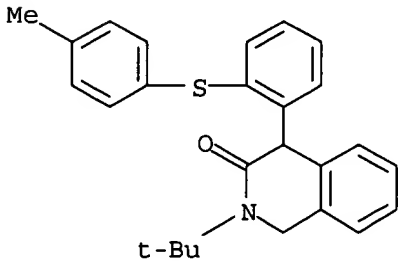
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:376413 CAPLUS
DOCUMENT NUMBER: 129:4527
TITLE: Additive and Vinylogous Pummerer Reactions of Amido Sulfoxides and Their Use in the Preparation of Nitrogen Containing Heterocycles
AUTHOR(S): Padwa, Albert; Kuethe, Jeffrey T.
CORPORATE SOURCE: Department of Chemistry, Emory University, Atlanta, GA, 30322, USA
SOURCE: Journal of Organic Chemistry (1998), 63(13), 4256-4268
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

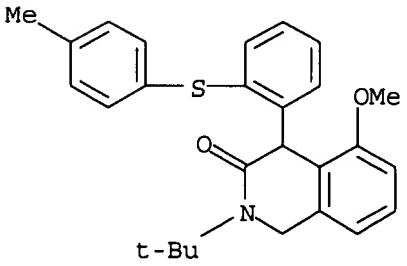
AB The α-thiocarbocation generated from the Pummerer reaction of 2-[4-MeC6H4S(:O)]C6H4CH2CONMe undergoes Friedel-Crafts reaction at the γ-carbon with the tethered aromatic ring. Reductive removal of the phenylthio group from the resulting product using Raney nickel occurs in high yield, and the overall reaction represents a new method for the synthesis of a variety of 3-phenyl-substituted oxindoles. Treatment of the related N-benzyl-N-alkyl amido sulfoxide system with trifluoroacetic anhydride affords tetrahydroisoquinolone derivs. The product distribution encountered coincides with the rotamer population of the starting amide. When the N-benzyl-N-Me amide is used, only the normal Pummerer product is formed. In this case, the thionium ion is generated in the wrong conformation for π-cyclization to occur. The corresponding N-tert-Bu amido system, however, exists in a geometric orientation which places the benzylic group in the crucial conformation necessary for π-cyclization, and consequently, the reaction proceeds smoothly. Related cyclization reactions occur in good yield with the corresponding furanyl and cyclohexenyl N-tert-Bu amido sulfoxides. The additive Pummerer reaction of 3-(phenylsulfinyl)-N-benzyl-N-tert-butylacrylamide gave products derived from both 5- and 6-exo trig cyclizations. Intramol. electrophilic aromatic substitution via six-membered ring closure ultimately afforded a dihydropyridone. The competitive process involving ipso attack of the aromatic ring on the thionium ion generates a spiro cyclohexadienyl cation that undergoes fragmentation of the adjacent σ-bond. The resulting acyl iminium ion is converted to N-tert-butyl-2-phenyl-3-(phenylsulfinyl)acrylamide upon aqueous workup. Only cyclizations leading to five-membered rings occur with the corresponding indolyl and alkenyl N-tert-Bu amido sulfoxides.

IT **172470-09-6P 207349-89-1P 207349-90-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(additive and vinylogous Pummerer reactions of amido sulfoxides in preparation of nitrogen heterocycles)

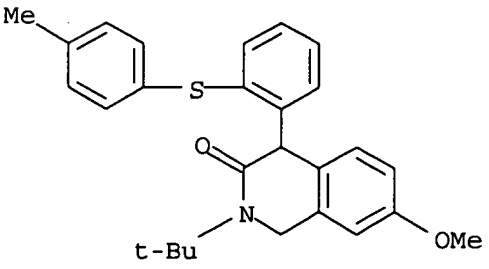
RN 172470-09-6 CAPLUS
CN 3(2H)-Isoquinolinone, 2-(1,1-dimethylethyl)-1,4-dihydro-4-[2-[(4-methylphenyl)thio]phenyl]- (9CI) (CA INDEX NAME)



RN 207349-89-1 CAPLUS
CN 3(2H)-Isoquinolinone, 2-(1,1-dimethylethyl)-1,4-dihydro-5-methoxy-4-[2-[(4-methylphenyl)thio]phenyl]- (9CI) (CA INDEX NAME)



RN 207349-90-4 CAPLUS
CN 3(2H)-Isoquinolinone, 2-(1,1-dimethylethyl)-1,4-dihydro-7-methoxy-4-[2-[(4-methylphenyl)thio]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:499172 CAPLUS
DOCUMENT NUMBER: 127:176352
TITLE: Quinolin-2(1H)-ones as NMDA receptor antagonists
INVENTOR(S): Ackermann, Karl-august; Gottschlich, Rudolf; Holzemann, Gunter; Leibrock, Joachim; Rautenberg, Wilfried; Seyfried, Christoph

09/902,845

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany; Gottschlich, Rudolf; Holzemann, Gunter; Leibrock, Joachim; Rautenberg, Wilfried; Seyfried, Christoph

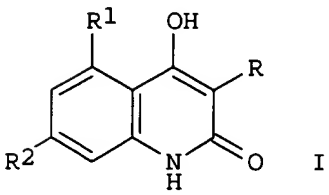
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

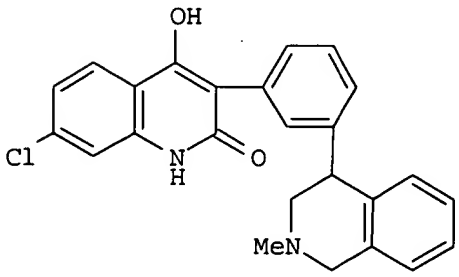
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9726244	A1	19970724	WO 1997-EP84	19970110
W: AU, BR, CA, CN, CZ, HU, JP, KR, LT, LV, MX, NO, PL, RU, SI, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 19601782	A1	19970724	DE 1996-19601782	19960119
CA 2243474	AA	19970724	CA 1997-2243474	19970110
AU 9713112	A1	19970811	AU 1997-13112	19970110
AU 716230	B2	20000224		
EP 885196	A1	19981223	EP 1997-900586	19970110
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
CN 1211974	A	19990324	CN 1997-192395	19970110
BR 9707027	A	19990720	BR 1997-7027	19970110
JP 2000503308	T2	20000321	JP 1997-525656	19970110
ZA 9700364	A	19970722	ZA 1997-364	19970116
NO 9803333	A	19980918	NO 1998-3333	19980717
US 6028080	A	20000222	US 1998-101837	19980717

PRIORITY APPLN. INFO.: DE 1996-19601782 A 19960119
WO 1997-EP84 W 19970110

OTHER SOURCE(S): MARPAT 127:176352
GI



I



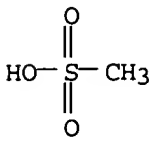
II

AB Quinolinones I [R = substituted Ph; R1, R2 = H, halogen, alkyl, alkoxy] were prepared fo use in treating neurodegenerative disorders (no data). Thus, the quinolinone II and its enantiomers were obtained from 2-BrCH2COC6H4CH2CO2Me in 9 steps.

IT **193819-37-3P 193819-40-8P 193819-43-1P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylquinolinones as NMDA receptor antagonists)

RN 193819-37-3 CAPLUS

CN 2(1H)-Quinolinone, 7-chloro-4-hydroxy-3-[3-(1,2,3,4-tetrahydro-2-methyl-4-isoquinolinyl)phenyl]-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

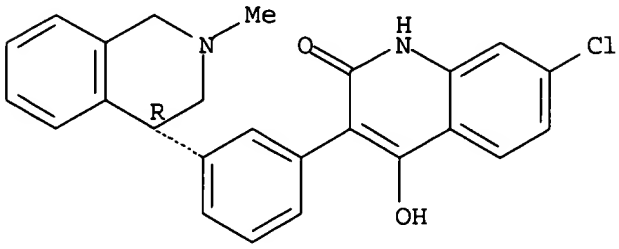


RN 193819-43-1 CAPLUS
CN 2(1H)-Quinolinone, 7-chloro-4-hydroxy-3-[3-(1,2,3,4-tetrahydro-2-methyl-4-isoquinolinyl)phenyl]-, (R)-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

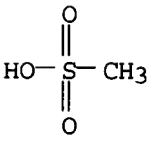
CRN 193819-42-0
CMF C25 H21 Cl N2 O2

Absolute stereochemistry.



CM 2

CRN 75-75-2
CMF C H4 O3 S



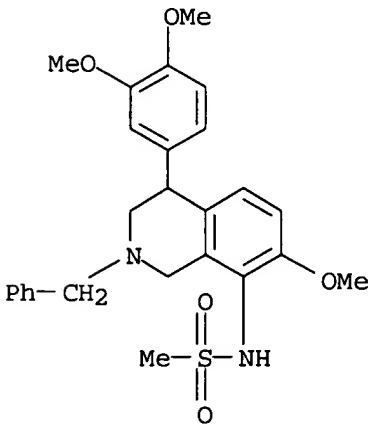
L6 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:644882 CAPLUS
DOCUMENT NUMBER: 125:292276
TITLE: 4-(3,4-Dihydroxyphenyl)-1,2,3,4-tetrahydroisoquinoline derivatives. II. Their renal vasodilation activity and structure-activity relationship
AUTHOR(S): Anan, Hideki; Tanaka, Akihiro; Tsuzuki, Ryuji; Yokota, Masaki; Yatsu, Takeyuki; Fujikura, Takashi
CORPORATE SOURCE: Inst. Drug Discovery Res., Yamanouchi Pharmaceutical Co., Ltd., Ibaraki, 305, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1996), 44(10), 1865-1870
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A series of 4-(3,4-dihydroxyphenyl)-1,2,3,4-tetrahydroisoquinoline derivs. showed potent DA1 agonistic activities. We investigated the structure-activity relation of the racemic compds. of this series. 4-(3,4-Dihydroxyphenyl)-7-methanesulfonamido-1,2,3,4-tetrahydroisoquinoline (43) was identified as a potent renal vasodilator with activity almost equal to that of YM435 (1).

IT **182958-00-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(dihydroxyphenyltetrahydroisoquinoline derivs. and their renal vasodilation activity and structure-activity relationship)

RN 182958-00-5 CAPLUS

CN Methanesulfonamide, N-[4-(3,4-dimethoxyphenyl)-1,2,3,4-tetrahydro-7-methoxy-2-(phenylmethyl)-8-isoquinolinyl]- (9CI) (CA INDEX NAME)

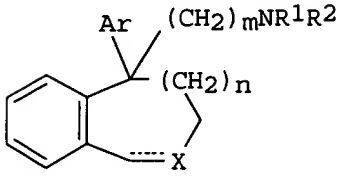


L6 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:995626 CAPLUS
DOCUMENT NUMBER: 124:145926
TITLE: Preparation of aminoalkylisochromans, -isoquinolines, and related compounds as gonadotropin-releasing hormone antagonists, calcium antagonists, and/or monoamine uptake inhibitors.
INVENTOR(S): Kato, Kaneyoshi; Sugiura, Yoshihiro; Kato, Koichi; Nagai, Yasuo
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 104 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 679642	A1	19951102	EP 1995-106189	19950426
EP 679642	B1	19991110		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5607939	A	19970304	US 1995-428499	19950425
AT 186530	E	19991115	AT 1995-106189	19950426
CA 2148047	AA	19951029	CA 1995-2148047	19950427
JP 08012650	A2	19960116	JP 1995-103389	19950427

US 5654296	A	19970805	US 1996-760904		19961206
PRIORITY APPLN. INFO.:			JP 1994-114054	A	19940428
			JP 1994-92769	A	19940428
			US 1995-428499	A3	19950425

OTHER SOURCE(S): MARPAT 124:145926
GI

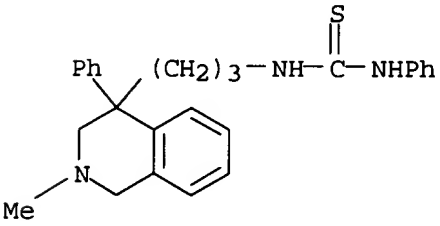


I

AB Title compds. (I; Ar = aromatic group; R1, R2, R3 = H, acyl, hydrocarbyl; R1R2N = heterocyclyl; m = 1-6; n = 2, 3; dotted line = optional double bond; X = O, NR3, N:), were prepared Thus, 4-(2-iodoethyl)-4-phenylisochroman and imidazole were stirred with K2CO3 in MeCN for 4 days at 60° to give 4-phenyl-4-[2-(1-imidazolyl)ethyl]isochroman, isolated as the hydrochloride. I inhibited 5-HT uptake in rat brain prepns. with IC50 = 0.03-1.0 μM. I drug formulations are given.

IT **173272-41-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoalkylisochromans, -isoquinolines, and related compds. as gonadotropin-releasing hormone antagonists, calcium antagonists, and/or monoamine uptake inhibitors)

RN 173272-41-8 CAPLUS
CN Thiourea, N-phenyl-N'-[3-(1,2,3,4-tetrahydro-2-methyl-4-phenyl-4-isoquinolinyl)propyl]- (9CI) (CA INDEX NAME)



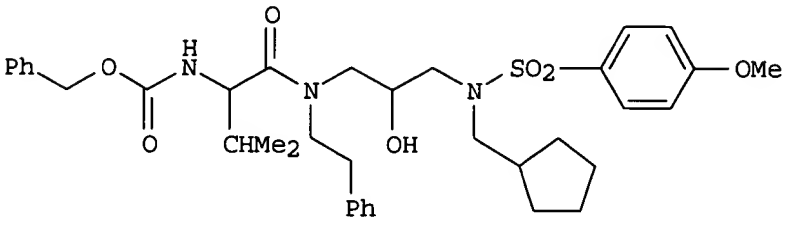
L6 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	1995:994876 CAPLUS
DOCUMENT NUMBER:	124:116874
TITLE:	Preparation of sulfonamide derivatives as aspartyl protease inhibitors
INVENTOR(S):	Tung, Roger Dennis; Salituro, Francesco Gerald; Deininger, David D.; Murcko, Mark Andrew; Novak, Perry Michael; Bhisetti, Govinda Rao
PATENT ASSIGNEE(S):	Vertex Pharmaceuticals Inc., USA
SOURCE:	PCT Int. Appl., 211 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	2
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9524385	A1	19950914	WO 1995-US2420		19950224
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA					
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
CA 2183653	AA	19950914	CA 1995-2183653		19950224
AU 9519332	A1	19950925	AU 1995-19332		19950224
AU 699483	B2	19981203			
EP 749421	A1	19961227	EP 1995-911960		19950224
EP 749421	B1	19990915			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
CN 1146201	A	19970326	CN 1995-192473		19950224
JP 10500938	T2	19980127	JP 1995-523497		19950224
AT 184594	E	19991015	AT 1995-911960		19950224
ES 2139195	T3	20000201	ES 1995-911960		19950224
ZA 9501688	A	19951211	ZA 1995-1688		19950301
US 6127372	A	20001003	US 1996-424372		19960401
HK 1012622	A1	20000922	HK 1998-113972		19981217
GR 3032151	T3	20000427	GR 1999-403237		19991215
PRIORITY APPLN. INFO.:			US 1994-207580	A	19940307
			WO 1995-US2420	W	19950224

OTHER SOURCE(S): MARPAT 124:116874

GI



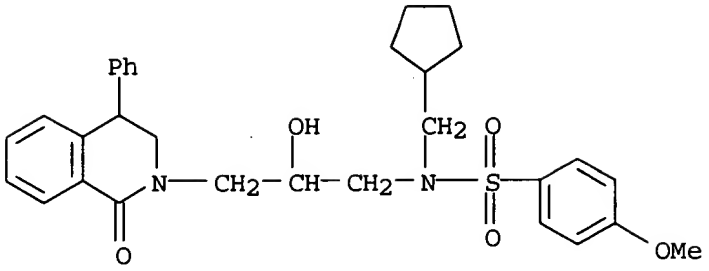
I

AB Z(CHD)pC(:G)(CXX')mC(:G')ND'SO2E' [D,D' = aryl, heterocyclyl, NH2, alkyl, etc.; E,E' = OH, NH2, aryl, heterocyclyl, etc.; G,G' = H2, O; X,X' = H, oh, NH2, halo, etc.; XX' = O; Z = NDSO2E, NHA, NHE, heterocyclyl, etc.; A = H, (cyclo)alkyl, Ph, heterocyclyl, etc.; m = 1-3; p = 0 or 1] were prepared Title compound I had Ki of 7nM against HIV-1 protease.

IT **172738-36-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonamide derivs. as aspartyl protease inhibitors)

RN 172738-36-2 CAPLUS

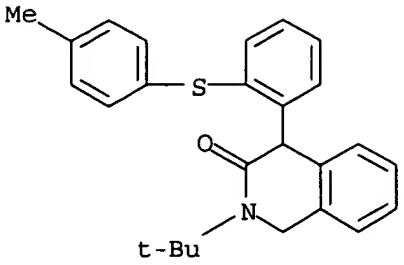
CN Benzenesulfonamide, N-(cyclopentylmethyl)-N-[3-(3,4-dihydro-1-oxo-4-phenyl-2(1H)-isoquinolinyl)-2-hydroxypropyl]-4-methoxy- (9CI) (CA INDEX NAME)



L6 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:865227 CAPLUS
DOCUMENT NUMBER: 124:86784
TITLE: Vinylogous Pummerer Reaction of Amido-Substituted Sulfoxides as a Method for Preparing Oxindoles and Tetrahydroisoquinolones
AUTHOR(S): Kuethe, Jeffrey T.; Cochran, John E.; Padwa, Albert
CORPORATE SOURCE: Department of Chemistry, Emory University, Atlanta, GA, 30322, USA
SOURCE: Journal of Organic Chemistry (1995), 60(22), 7082-3
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:86784

AB The α-thiocarbocation generated from the Pummerer reaction of N-Me N-phenyl-2-[2-(toluene-4-sulfinyl)phenyl]acetamide undergoes Friedel-Crafts reaction at the γ-C by the tethered π-bond. Reductive removal of the phenylthio group from the resulting product using Raney Ni occurs in high yield and the overall reaction represents a new method to synthesize a variety of 3-Ph substituted oxindoles. Treatment of the related N-benzyl-N-alkyl amido sulfoxide system with trifluoroacetic anhydride affords tetrahydroisoquinolone derivs. The product distribution encountered coincides with the rotamer population of the starting amide. When the N-benzyl-N-Me amide was used, only the normal Pummerer product is formed. In this case, the thionium ion is generated in the wrong conformation for π-cyclization, and none occurs. The corresponding N-tert-Bu amido system, however, exists in a geometric orientation which places the benzylic group in the crucial conformation necessary for π-cyclization and, consequently, the reaction occurs smoothly. Related cyclization reactions occur in good yield with the corresponding furanyl and cyclohexenyl N-tert-Bu amido sulfoxides.

IT **172470-09-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(vinylogous Pummerer reaction for cyclization of amido-substituted sulfoxides in preparation of oxindoles and tetrahydroisoquinolones)
RN 172470-09-6 CAPLUS
CN 3(2H)-Isoquinolinone, 2-(1,1-dimethylethyl)-1,4-dihydro-4-[2-[(4-methylphenyl)thio]phenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1985:523465 CAPLUS
DOCUMENT NUMBER: 103:123465
TITLE: Pyridoindole derivatives and their use
INVENTOR(S): Boltze, Karl Heinz; Davies, Margaret A.; Junge, Bodo; Schuurman, Teunis; Traber, Joerg
PATENT ASSIGNEE(S): Troponwerke G.m.b.H. und Co. K.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 62 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3333994	A1	19850404	DE 1983-3333994	19830921
EP 140070	A1	19850508	EP 1984-110732	19840908
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
US 4564613	A	19860114	US 1984-651001	19840914
AU 8433201	A1	19850328	AU 1984-33201	19840917
ES 536003	A1	19851216	ES 1984-536003	19840918
FI 8403672	A	19850322	FI 1984-3672	19840919
DK 8404487	A	19850322	DK 1984-4487	19840920
JP 60087256	A2	19850516	JP 1984-195859	19840920
ZA 8407400	A	19850626	ZA 1984-7400	19840920
HU 36119	O	19850828	HU 1984-3541	19840920
ES 545270	A1	19860316	ES 1985-545270	19850716

PRIORITY APPLN. INFO.: DE 1983-3333994 A 19830921
OTHER SOURCE(S): CASREACT 103:123465
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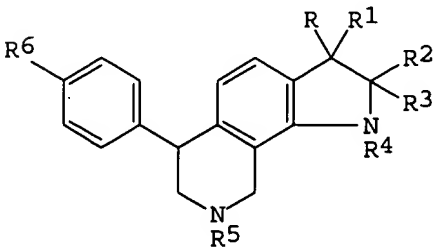


I

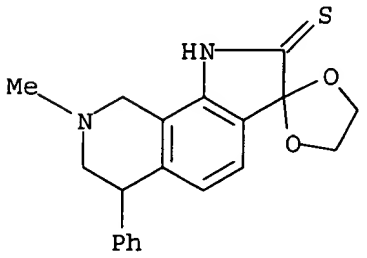
AB The title compds. (I; R = H, alkyl aminoalkyl, heterocyclylalkyl; RR1 = O, OCH2CH2O, SCH2CH2S; RR3 = atoms required to complete a 6-membered N-containing ring; R1R2 = H, bond; R2R3 = O; R2R4 = bond,; R4 = H, alkyl, iminomethyl, heterocyclyl; R5 = H, alkyl; R6 = halo) were prepared Thus,

2-H2NC6H4CH2NMeCH2CHPhOH was condensed with Cl3CCH(OH)2 and HONH2.HCl to give 91% 2-HON:CHCONHC6H4CH2NMeCH2CHPhOH. This was cyclized by stirring at 35° in concentrated H2SO4 to give 90% I (RR1 = R2R3 = O, R4 = R6 = H, R5 = Me). This was treated with LiAlH4 in Et2O-THF at room temperature to give 30% I (R = R3 = R4 = R6 = H, R1R2 = bond, R5 = Me) (II). II inhibited tetrabenazine-induced ptosis in mice with an ED50 of 0.3 mg/kg i.p.

IT **98159-59-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation of, with piperidine)

RN 98159-59-2 CAPLUS

CN Spiro[1,3-dioxolane-2,3'-[3H]pyrrolo[3,2-h]isoquinoline]-2'(1'H)-thione, 6',7',8',9'-tetrahydro-8'-methyl-6'-phenyl- (9CI) (CA INDEX NAME)



L6 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1985:62095 CAPLUS

DOCUMENT NUMBER: 102:62095

TITLE: Optical antipodes of 8-amino-4-phenyl-1,2,3,4-tetrahydroisoquinoline and pharmaceuticals containing them with an antidepressive action

INVENTOR(S): Schmitt, Karl; Kruse, Hansjoerg; Schacht, Ulrich; Kunstmann, Rudolf

PATENT ASSIGNEE(S): Hoechst A.-G. , Fed. Rep. Ger.

SOURCE: Ger. Offen., 8 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

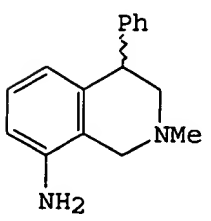
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3310878	A1	19840927	DE 1983-3310878	19830325
DK 8401447	A	19840926	DK 1984-1447	19840229
EP 120438	A1	19841003	EP 1984-103021	19840320
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 59176260	A2	19841005	JP 1984-54620	19840323
ES 530905	A1	19850416	ES 1984-530905	19840323

PRIORITY APPLN. INFO.: DE 1983-3310878 A 19830325

GI



I

AB The antidepressant (no data) racemic title compound (I) was separated into its enantiomers by crystallization of its salt with N-(phenylsulfonyl)-L-(+)-glutamic acid.

IT **94532-83-9P 94532-84-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and decomposition of)

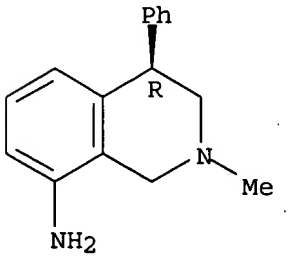
RN 94532-83-9 CAPLUS

CN L-Glutamic acid, N-(phenylsulfonyl)-, compd. with (R)-1,2,3,4-tetrahydro-2-methyl-4-phenyl-8-isoquinolinamine (9CI) (CA INDEX NAME)

CM 1

CRN 89664-20-0
CMF C16 H18 N2

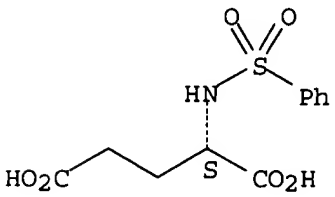
Absolute stereochemistry.



CM 2

CRN 20531-36-6
CMF C11 H13 N O6 S

Absolute stereochemistry.



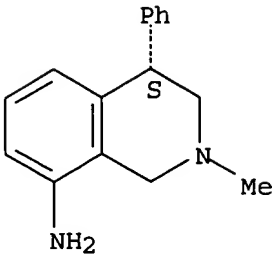
RN 94532-84-0 CAPLUS

CN L-Glutamic acid, N-(phenylsulfonyl)-, compd. with (S)-1,2,3,4-tetrahydro-2-methyl-4-phenyl-8-isoquinolinamine (9CI) (CA INDEX NAME)

CM 1

CRN 89664-18-6
CMF C16 H18 N2

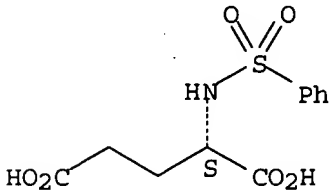
Absolute stereochemistry.



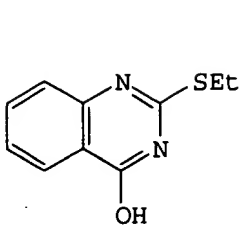
CM 2

CRN 20531-36-6
CMF C11 H13 N O6 S

Absolute stereochemistry.



L6 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1982:104179 CAPLUS
DOCUMENT NUMBER: 96:104179
TITLE: Preparation of condensed 2-alkylthio-4-hydroxypyrimidines
AUTHOR(S): Haede, Werner
CORPORATE SOURCE: Hoechst A.-G., Frankfurt/Main, D-6230/80, Fed. Rep. Ger.
SOURCE: Journal of Heterocyclic Chemistry (1981), 18(7), 1417-19
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 96:104179
GI



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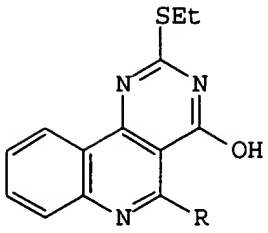

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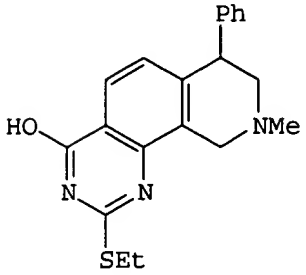

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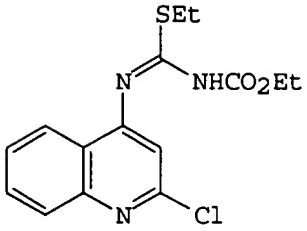


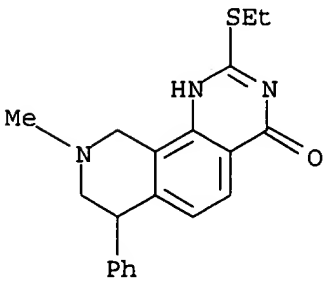
IV

AB The condensed pyrimidines I, II (R = Me, Cl), and III were prepared by cyclization of a S-allylisothioureas. Thus, heating the isothiourea IV 1 h at 175° gave 93% II (R = Cl).

IT **80947-23-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

RN 80947-23-5 CAPLUS

CN Pyrido[4,3-h]quinazolin-4(1H)-one, 2-(ethylthio)-7,8,9,10-tetrahydro-9-methyl-7-phenyl- (9CI) (CA INDEX NAME)



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L3 1211694 S 4-7/NR AND 1-4/N AND 0-5/O AND 0-3/S
L4 4 S L1 SAM SUB=L3
L5 62 S L1 FULL SUB=L3

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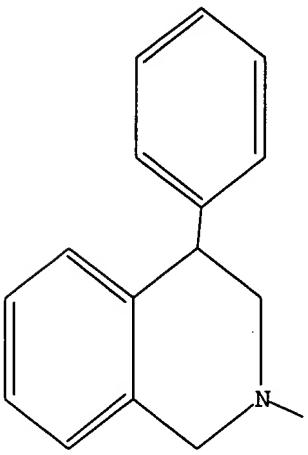

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PALM INTRANET

Day : Friday
Date: 3/4/2005
Time: 12:27:49

Inventor Name Search Result

Your Search was:

Last Name = BECK
First Name = JAMES

Application#	Patent#	Status	Date Filed	Title	Inventor Name
08726511	5829611	150	10/07/1996	TAMPER-EVIDENT OVERCAP	BECK, JAMES M.
08728832	5829609	250	10/10/1996	TWIST TOP CHILD-RESISTANT CLOSURE	BECK, JAMES M.
08745891	5817082	150	11/08/1996	MEDICAMENT CONTAINER CLOSURE WITH INTEGRAL SPIKE ACCESS MEANS	BECK, JAMES M.
08761395	5743444	150	12/06/1996	TWIST DISPENSING CLOSURE	BECK, JAMES M.
09027126	6024256	150	02/20/1998	TAMPER-EVIDENT CLOSURE	BECK, JAMES M.
09059089	5842592	150	04/13/1998	TAMPER-EVIDENT SNAP ON CAP WITH TEAR LEVER	BECK, JAMES M.
09081811	5996859	150	05/20/1998	HINGED DISPENSING CLOSURE	BECK, JAMES M.
29002724	D355119	150	12/17/1992	CAP FOR CONTAINER	BECK, JAMES M.
29104779	D419069	150	05/12/1999	CLOSURE FOR DISPENSING NOZZLE	BECK, JAMES M.
09904384	Not Issued	161	07/12/2001	PROCESSES FOR DECOMPOSITION OF HALOGENATED COMPOUNDS	BECK, JAMES N.
60217412	Not Issued	159	07/11/2000	NOVEL 4-PHENYL SUBSTITUTED TETRAHYDROISOQUINOLINES THERAPEUTIC USE THEREOF	BECK, JAMES P
09704305	Not Issued	161	11/02/2000	ARYL AND HETEROARYL SUBSTITUTED TETRAHYDROISOQUINOLINES AND USES THEREOF	BECK, JAMES P.
09704306	Not Issued	071	11/02/2000	NOVEL 4-PHENYL SUBSTITUTED TETRAHYDROISOQUINOLINES AND USE THEREOF	BECK, JAMES P.
09738666	Not	092	12/15/2000	NOVEL IMIDAZOPYRIMIDINYL	BECK, JAMES

	Issued			AND IMIDAZOPYRI DINYL DERIVATIVES	P.
09789673	6525056	150	02/21/2001	HETEROCYCLYL-SUBSTITUTED RING-FUSED PYRIDINES AND PYRIMIDINES AS CORTICOTROPIN RELEASING HORMONE (CRH) ANTAGONISTS, USEFUL FOR TREATING CNS AND STRESS-RELATED DISORDERS	BECK, JAMES P.
09895843	6846813	150	06/29/2001	COMPOUNDS TO TREAT ALZHEIMER'S DISEASE	BECK, JAMES P.
09896139	Not Issued	071	06/29/2001	COMPOUNDS TO TREAT ALZHEIMER'S DISEASE	BECK, JAMES P.
09902845	Not Issued	071	07/11/2001	NOVEL 4-PHENYL SUBSTITUTED TETRAHYDROISO QUINOLINES THERAPEUTIC USE THEREOF	BECK, JAMES P.
10091949	6579885	150	03/06/2002	ARYL AND HETEROARYL SUBSTITUTED TETRAHYDROISOQUINOLINES AND USE THEREOF	BECK, JAMES P.
10170331	Not Issued	071	06/13/2002	MACROCYCLES USEFUL IN THE TREATMENT OF ALZHEIMER'S DISEASE	BECK, JAMES P.
10171182	Not Issued	071	06/12/2002	MYCROCYCLES USEFUL IN THE TEATMENT OF ALZHEIMER'S DISEASE	BECK, JAMES P.
10426097	Not Issued	041	04/29/2003	ARYL AND HETEROARYL SUBSTITUTED TETRAHYDROISOQUINOLINES AND USE THEREOF	BECK, JAMES P.
10427106	Not Issued	041	04/30/2003	HYDROXYPROPYL AMIDES FOR THE TREATMENT OF ALZHEIMER'S DISEASE	BECK, JAMES P.
10482098	Not Issued	030	07/23/2004	USE OF BICYCLO COMPOUNDS FOR TREATING ALZHEIMER'S DISEASE	BECK, JAMES P.
10494184	Not Issued	030	08/27/2004	HYDROXY SUBSTITUTED AMIDES FOR THE TREATMENT OF ALZHEIMER'S DISEASE	BECK, JAMES P.
10658959	Not Issued	041	09/10/2003	ACETYL 2-HYDROXY-1, 3-DIAMINOALKANES	BECK, JAMES P.
10724856	Not Issued	030	12/01/2003	USE OF ARYL- AND HETEROARYL-SUBSTITUTED TETRAHYDROISOQUINOLINES IN THE TREATMENT OF CHRONIC AND NEUROPATHIC PAIN,	BECK, JAMES P.

				MIGRAINE HEADACHES, AND URGE, STRESS AND MIXED URINARY INCONTINENCE	
10724857	Not Issued	030	12/01/2003	USE OF 4-PHENYL-SUBSTITUTED TETRAHYDROISOQUINOLINES IN THE TREATMENT OF PAIN, MIGRAINE HEADACHES AND URINARY INCONTINENCE	BECK, JAMES P.
10725221	Not Issued	030	12/01/2003	USE OF 4-PHENYL SUBSTITUTED TETRAHYDROISOQUINOLINES IN THE TREATMENT OF PAIN, MIGRAINE AND URINARY INCONTINENCE	BECK, JAMES P.
10917801	Not Issued	020	08/13/2004	ARYL AND HETEROARYL SUBSTITUTED TETRAHYDROISOQUINOLINES AND USE THEREOF	BECK, JAMES P.
60297505	Not Issued	159	06/12/2001	COMPOUNDS TO TREAT ALZHEIMER'S DISEASE	BECK, JAMES P.
60297540	Not Issued	159	06/12/2001	COMPOUNDS TO TREAT ALZHEIMER'S DISEASE	BECK, JAMES P.
60297546	Not Issued	159	06/12/2001	COMPOUNDS TO TREAT ALZHEIMER'S DISEASE	BECK, JAMES P.
60318014	Not Issued	159	09/07/2001	NOVEL IMIDAZOLE, OXAZOLE, AND THIAZOLE DERIVATIVES AND THEIR USES AS CRF MODULATORS	BECK, JAMES P.
60318016	Not Issued	159	09/07/2001	NOVEL PYRIMIDINE DERIVATIVES AND THEIR USE AS CRF MODULATORS	BECK, JAMES P.
60318030	Not Issued	159	09/07/2001	NOVEL PYRAZINES DERIVATIVES AND THEIR USE AS CRF MODULATORS	BECK, JAMES P.
60318031	Not Issued	159	09/07/2001	NOVEL IMIDAZOLE, OXAZOLE, AND THAZOLE DERIVATIVES AND THEIR USE AS CRF MODULATORS	BECK, JAMES P.
60318032	Not Issued	159	09/07/2001	NOVEL, PYRAZOLE, ISOXAZOLE, AND ISOTHIAZOLE DERIVALTIVES AND THEIR USE AS CRF MODULATORS	BECK, JAMES P.
60318034	Not Issued	159	09/07/2001	NAVEL PYRIDONE DERIVATIVEAS AND THEIR USE AS CRF MODULATORS	BECK, JAMES P.
60318036	Not Issued	159	09/07/2001	NOVEL FUSED HETEROCYCLES AND THEIR USE AS CRF	BECK, JAMES P.

				MODULATORS	
60318077	Not Issued	159	09/07/2001	NOVEL PYRIDINE DERIVATIVES AND THEIR USE AS CRF MODULATORS	BECK, JAMES P.
60318090	Not Issued	159	09/07/2001	NOVEL PYRIMIDINE DERIVATIVES AND THEIR USE AS CRF MODULATORS	BECK, JAMES P.
60318092	Not Issued	159	09/07/2001	NOVEL FUSED PYRAZINE DERIVATIVES AND THEIR USE AS CRF MODULATORS	BECK, JAMES P.
60318188	Not Issued	159	09/07/2001	NOVEL 3- AND 6-ARYLPYRAZINE-2(1H)-ONE DERIVATIVES AND THEIR USE AS CRF MODULATORS	BECK, JAMES P.
60323176	Not Issued	159	09/18/2001	NOVEL 3-ARYLPYRIDAZINE DERIVATIVES AND THEIR USE AS CNS RECEPTOR MODULATORS	BECK, JAMES P.
60324343	Not Issued	159	09/22/2001	NOVEL ISOTHIAZOLO[5,4-C] PYRIDINES AND ISOTHIAZOLO [4,5-C]PYRIDINES AND THEIR USE AS NEUROTRANSMITTER MODULATORS	BECK, JAMES P.
60324384	Not Issued	159	09/22/2001	NOVEL 2-ARYLPYRIMIDINO AND 2-ARYLPYRIDINO DERIVATIVES AND THEIR USE AS NEUROTRANSMITTER MODULATORS	BECK, JAMES P.
60332863	Not Issued	159	11/19/2001	AMINO DIOLS USEFUL IN THE TREATMENT OF ALZHEIMER'S DISEASE	BECK, JAMES P.
60351152	Not Issued	159	10/29/2001	HYDROXY SUBSTITUTED AMIDES FOR THE TREATMENT OF ALZHEIMER'S DISEASE	BECK, JAMES P.
60409565	Not Issued	159	09/10/2002	SUBSTITUTED AMINOETHERS USEFUL IN THE TREATMENT OF ALZHEIMER'S DISEASE	BECK, JAMES P.

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